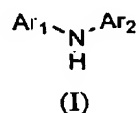


Applicants: John R. Snoonian et al.  
Application No.: 10/775,687

AMENDMENTS TO THE CLAIMS

Please replace all prior versions and listings of claims with the amended claims as follows:

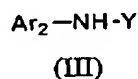
1. (Currently amended) A process for producing a diaryl amine compound of the formula (I):



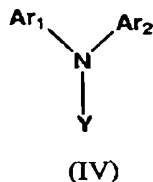
or a salt thereof,

said process comprising the steps of

(1) coupling a compound of formula (II) with an amine of formula (III) in the presence of an alkali metal salt or a transition metal catalyst:



to form a compound of formula (IV):



and

(2) removing radical Y from the compound of formula (IV) in the presence of an acid;

wherein:

Ar<sub>1</sub> and Ar<sub>2</sub> are independently Q;

wherein each Q is an aryl or heteroaryl ring system optionally fused to a saturated or unsaturated 5-8 membered ring having 0-4 heteroatoms, wherein either Ar<sub>1</sub> or Ar<sub>2</sub> is a heteroaryl ring;

wherein Q is optionally substituted at one or more ring atoms with one or more substituents independently selected from halo; C<sub>1</sub>-C<sub>6</sub> aliphatic optionally

Applicants: John R. Snoonian et al.  
 Application No.: 10/775,687

substituted with  $N(R')_2$ ,  $OR'$ ,  $CO_2R'$ ,  $C(O)N(R')_2$ ,  $OC(O)N(R')_2$ ,  $NR'CO_2R'$ ,  $NR'C(O)R'$ ,  $SO_2N(R')_2$ ,  $N=CH-N(R')_2$ , or  $OPO_3H_2$ ;  $C_1-C_6$  alkoxy optionally substituted with  $N(R')_2$ ,  $OR'$ ,  $CO_2R'$ ,  $C(O)N(R')_2$ ,  $OC(O)N(R')_2$ ,  $NR'CO_2R'$ ,  $NR'C(O)R'$ ,  $SO_2N(R')_2$ ,  $N=CH-N(R')_2$ , or  $OPO_3H_2$ ;  $Ar_3$ ;  $CF_3$ ;  $OCF_3$ ;  $OR'$ ;  $SR'$ ;  $SO_2N(R')_2$ ;  $OSO_2R'$ ;  $SCF_3$ ;  $NO_2$ ;  $CN$ ;  $N(R')_2$ ;  $CO_2R'$ ;  $CO_2N(R')_2$ ;  $C(O)N(R')_2$ ;  $NR'C(O)R'$ ;  $NR'CO_2R'$ ;  $NR'C(O)C(O)R'$ ;  $NR'SO_2R'$ ;  $OC(O)R'$ ;  $NR'C(O)R^2$ ;  $NR'CO_2R^2$ ;  $NR'C(O)C(O)R^2$ ;  $NR'C(O)N(R')_2$ ;  $OC(O)N(R')_2$ ;  $NR'SO_2R^2$ ;  $NR'R^2$ ;  $N(R^2)_2$ ;  $OC(O)R^2$ ;  $OPO_3H_2$ ; and  $N=CH-N(R')_2$ ;

$R'$  is selected from hydrogen;  $C_1-C_6$  aliphatic; or a 5-6 membered carbocyclic or heterocyclic ring system optionally substituted with 1 to 3 substituents independently selected from halo,  $C_1-C_6$  alkoxy, cyano, nitro, amino, hydroxy, and  $C_1-C_6$  aliphatic;

$R^2$  is a  $C_1-C_6$  aliphatic optionally substituted with  $N(R')_2$ ,  $OR'$ ,  $CO_2R'$ ,  $C(O)N(R')_2$  or  $SO_2N(R')_2$ ; or a carbocyclic or heterocyclic ring system optionally substituted with  $N(R')_2$ ,  $OR'$ ,  $CO_2R'$ ,  $C(O)N(R')_2$  or  $SO_2N(R')_2$ ;

wherein  $Ar_3$  is an aryl or heteroaryl ring system optionally fused to a saturated or unsaturated 5-8 membered ring having 0-4 heteroatoms;

wherein  $Ar_3$  is optionally substituted at one or more ring atoms with one or more substituents independently selected from halo;  $C_1-C_6$  aliphatic optionally substituted with  $N(R')_2$ ,  $OR'$ ,  $CO_2R'$ ,  $C(O)N(R')_2$ ,  $OC(O)N(R')_2$ ,  $NR'CO_2R'$ ,  $NR'C(O)R'$ ,  $SO_2N(R')_2$ ,  $N=C-N(R')_2$ , or  $OPO_3H_2$ ;  $C_1-C_6$  alkoxy optionally substituted with  $N(R')_2$ ,  $OR'$ ,  $CO_2R'$ ,  $C(O)N(R')_2$ ,  $OC(O)N(R')_2$ ,  $SO_2N(R')_2$ ,  $NR'CO_2R'$ ,  $NR'C(O)R'$ ,  $N=C-N(R')_2$ , or  $OPO_3H_2$ ;  $CF_3$ ;  $OCF_3$ ;  $OR'$ ;  $SR'$ ;  $SO_2N(R')_2$ ;  $OSO_2R'$ ;  $SCF_3$ ;  $NO_2$ ;  $CN$ ;  $N(R')_2$ ;  $CO_2R'$ ;  $CO_2N(R')_2$ ;  $C(O)N(R')_2$ ;  $NR'C(O)R'$ ;  $NR'CO_2R'$ ;  $NR'C(O)C(O)R'$ ;  $NR'SO_2R'$ ;  $OC(O)R'$ ;  $NR'C(O)R^2$ ;  $NR'CO_2R^2$ ;  $NR'C(O)C(O)R^2$ ;  $NR'C(O)N(R')_2$ ;  $OC(O)N(R')_2$ ;  $NR'SO_2R^2$ ;  $NR'R^2$ ;  $N(R^2)_2$ ;  $OC(O)R^2$ ;  $OPO_3H_2$ ; and  $-N=C-N(R')_2$ ;

$X$  is a leaving group;

$Y$  is  $-C(O)-O-Z$ ; and

$Z$  is  $C_1-C_6$  aliphatic, benzyl, Fmoc,  $-SO_2R'$  or  $Q$ , provided that  $Q$  is not substituted with  $X$  or alkyne.

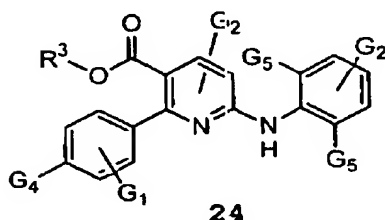
Applicants: John R. Snoonian et al.  
Application No.: 10/775,687

2. (Canceled)
3. (Original) The process according to claim 1, wherein the process is performed using a transition metal catalyst.
4. (Original) The process according to claim 3, wherein the transition metal catalyst comprises palladium.
5. (Original) The process according to claim 4 wherein the catalyst is  $PdL_n$ , wherein each L is independently selected from -OAc, -O-tolyl, halogen,  $PPh_3$ , dppe, dppf, dba, and BINAP; and n is an integer from 0-4.
6. (Original) The process according to claim 3, wherein the step of coupling a compound of formula (II) with an amine of formula (III) is performed in the presence of a base.
7. (Original) The process according to claim 6, wherein the base is selected from KOtBu, NaOtBu,  $K_3PO_4$ ,  $Na_2CO_3$ , and  $Cs_2CO_3$ .
8. (Original) The process according to claim 1, wherein the process is performed using an alkali metal salt.
9. (Original) The process according to claim 8, wherein the alkali metal salt is selected from salts of potassium, rubidium, or cesium ions.
10. (Original) The process according to claim 9, wherein the alkali metal salt is selected from potassium carbonate or cesium carbonate.
11. (Original) The process according to claim 10, wherein the alkali metal salt is cesium carbonate.
12. (Original) The process according to claim 1, wherein X is selected from the group consisting of -Cl, -Br, -I, -F, -OTf, -OTs, iodonium, and diazo.

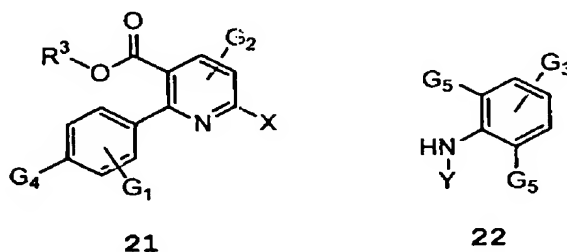
**Applicants:** John R. Snoonian et al.  
**Application No.:** 10/775,687

13. (Original) The process according to claim 1, wherein Y is Boc.

14. (Previously presented) The process according to claim 1 for producing a diaryl amine compound of the formula:



comprising the steps of (1) coupling a compound of formula **21** with an amine of formula **22** in the presence of an alkali metal salt or a transition metal catalyst, and (2) removing radical Y from the resultant compound in the presence of an acid:



wherein:

$R^3$  is selected from aliphatic, aryl, or aryl substituted with aliphatic, aryl, nitro, CN,  $CO_2R'$ ,  $CO_2N(R')_2$ ,  $OR'$ ,  $NCO_2R'$ ,  $NR'C(O)N(R')_2$ , and  $OC(O)N(R')_2$ ; provided that  $R^3$  is not t-butyl; and

$G_1$ ,  $G_2$ ,  $G_3$ ,  $G_4$ , and  $G_5$  are independently selected from hydrogen, aliphatic, aryl, substituted aryl, nitro, CN,  $OR'$ ,  $CO_2R'$ ,  $CO_2N(R')_2$ ,  $NR'CO_2R'$ ,  $NR'C(O)N(R')_2$ ,  $OC(O)N(R')_2$ , F, Cl, Br, I, O-Tos, O-Ms,  $OSO_2R'$ , and  $OC(O)R'$ .

15. (Canceled)

Applicants: John R. Snoonian et al.  
Application No.: 10/775,687

16. (Original) The process according to claim 14, wherein the process is performed using a transition metal catalyst.

17. (Original) The process according to claim 16, wherein the transition metal catalyst comprises palladium.

18. (Original) The process according to claim 17 wherein the catalyst is  $\text{PdL}_n$ , wherein

each L independently is selected from -OAc, -O-tolyl, halogen,  $\text{PPh}_3$ , dppe, dppf, dba, and BINAP; and n is an integer from 0-4.

19. (Original) The process according to claim 16, wherein the step of coupling a compound of formula 21 with an amine of formula 22 is performed in the presence of a base.

20. (Original) The process according to claim 19, wherein the base is selected from KOtBu, NaOtBu,  $\text{K}_3\text{PO}_4$ ,  $\text{Na}_2\text{CO}_3$ , and  $\text{Cs}_2\text{CO}_3$ .

21. (Original) The process according to claim 14, wherein the process is performed using an alkali metal salt.

22. (Original) The process according to claim 21, wherein the alkali metal salt is selected from salts of potassium, rubidium, or cesium ions.

23. (Original) The process according to claim 22, wherein the alkali metal salt is selected from potassium carbonate or cesium carbonate.

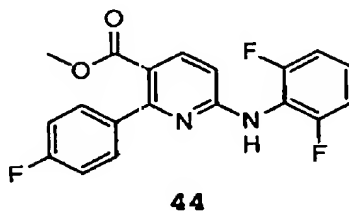
24. (Original) The process according to claim 23, wherein the alkali metal salt is cesium carbonate.

25. (Original) The process according to claim 14, wherein X is selected from the group consisting of -Cl, -Br, -I, -F, -OTf, -OTs, iodonium, and diazo.

26. (Original) The process according to claim 14, wherein Y is Boc.

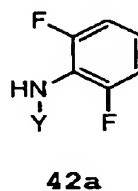
Applicants: John R. Snoonian et al.  
Application No.: 10/775,687

27. (Original) The process according to claim 1 for producing a diaryl amine compound of the formula:



or a salt thereof,

- 5        said process comprising the steps of (1) coupling a compound of formula **41a** with an amine of formula **42a** in the presence of an alkali metal salt or a transition metal catalyst, and (2) removing radical Y from the resultant compound in the presence of an acid:



28. (Canceled)

29. (Original) The process according to claim 27, wherein the process is performed using a transition metal catalyst.

30. (Original) The process according to claim 29, wherein the transition metal catalyst comprises palladium.

31. (Original) The process according to claim 30 wherein the catalyst is  $\text{PdL}_n$ , wherein

each L is independently selected from -OAc, -O-tolyl, halogen,  $\text{PPh}_3$ , dppe, dppf, dba, and BINAP; and n is an integer from 0-4.

Applicants: John R. Snoorian et al.  
Application No.: 10/775,687

32. (Original) The process according to claim 29, wherein the step of coupling a compound of formula **41a** with an amine of formula **42a** is performed in the presence of a base.

33. (Original) The process according to claim 32, wherein the base is selected from KOtBu, NaOtBu, K<sub>3</sub>PO<sub>4</sub>, Na<sub>2</sub>CO<sub>3</sub>, and Cs<sub>2</sub>CO<sub>3</sub>.

34. (Original) The process according to claim 27, wherein the process is performed using an alkali metal salt.

35. (Original) The process according to claim 34, wherein the alkali metal salt is selected from salts of potassium, rubidium, or cesium ions.

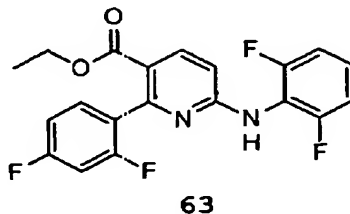
36. (Original) The process according to claim 35, wherein the alkali metal salt is selected from potassium carbonate or cesium carbonate.

37. (Original) The process according to claim 36, wherein the alkali metal salt is cesium carbonate.

38. (Original) The process according to claim 27, wherein X is selected from the group consisting of -Cl, -Br, -I, -F, -OTf, -OTs, iodonium, and diazo.

39. (Original) The process according to claim 27, wherein Y is Boc.

40. (Previously presented) The process according to claim 1 for producing a diaryl amine compound of the formula:

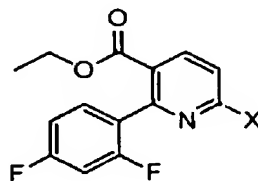


or a salt thereof,

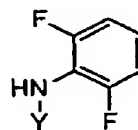
said process comprising the steps of (1) coupling a compound of formula **61a** with an amine of formula **42a** in the presence of an alkali metal salt or a transition metal

Applicants: John R. Snoorian et al.  
Application No.: 10/775,687

catalyst, and (2) removing radical Y from the resultant compound in the presence of an acid:



61a



42a

41. (Canceled)

42. (Original) The process according to claim 40, wherein the process is performed using a transition metal catalyst.

43. (Original) The process according to claim 42, wherein the transition metal catalyst comprises palladium.

44. (Original) The process according to claim 43, wherein the catalyst is  $\text{PdL}_n$ , wherein

each L is independently selected from -OAc, -O-tolyl, halogen,  $\text{PPh}_3$ , dppe, dppf, dba, and BINAP; and n is an integer from 0-4.

45. (Original) The process according to claim 42, wherein the step of coupling a compound of formula 61a with an amine of formula 42a is performed in the presence of a base.

46. (Original) The process according to claim 45, wherein the base is selected from KOtBu, NaOtBu,  $\text{K}_3\text{PO}_4$ ,  $\text{Na}_2\text{CO}_3$ , and  $\text{Cs}_2\text{CO}_3$ .

47. (Original) The process according to claim 40, wherein the process is performed using an alkali metal salt.



Applicants: John R. Snoonian et al.  
Application No.: 10/775,687

48. (Original) The process according to claim 47, wherein the alkali metal salt is selected from salts of potassium, rubidium, or cesium ions.

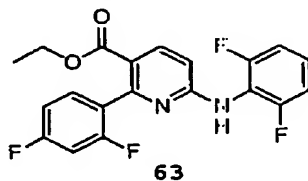
49. (Original) The process according to claim 48, wherein the alkali metal salt is selected from potassium carbonate or cesium carbonate.

50. (Original) The process according to claim 49, wherein the alkali metal salt is cesium carbonate.

51. (Original) The process according to claim 40, wherein X is selected from the group consisting of -Cl, -Br, -I, -F, -OTf, -OTs, iodonium, and diazo.

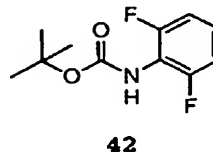
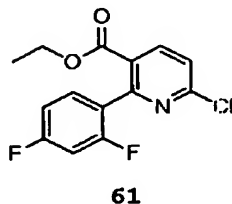
52. (Original) The process according to claim 40, wherein Y is Boc.

53. (Previously presented) The process according to claim 40 for producing a diaryl amine compound of the formula:



or a salt thereof,

said process comprising the steps of (1) coupling a compound of formula 61 with an amine of formula 42 in the presence of an alkali metal salt or a transition metal catalyst, and (2) removing the Boc group from the coupled amine in the presence of an acid:

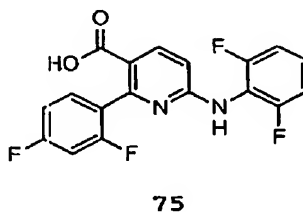


54. (Canceled)

55. (Currently amended) The process according to claim 53 ~~any of claims 53 or 54~~ wherein the process is performed using cesium carbonate.

56. (Currently amended) The process according to claim ~~[[54]]~~ 53 further comprising the steps of:

- (a) reacting the compound of formula **63** with a base; and
- (b) acidifying the reaction mixture formed in step (a) to produce a compound of the formula **75**:

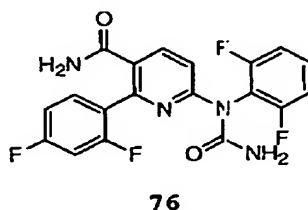


57. (Original) The process according to claim 56 wherein the base in step (a) is NaOH.

58. (Original) The process according to claim 56 wherein the acid in step (b) is HCl.

59. (Original) The process according to claim 56 further comprising the steps of:

- (c) reacting the compound of formula **75** with diphosgene; and
- (d) treating the reaction mixture formed in step (c) with  $\text{NH}_4\text{OH}$  to produce a compound of the formula **76**:



60. (Previously presented) The process according to claim 1, wherein the acid is selected from the group consisting of HCl, HBr, HI and an organic acid.

61. (Previously presented) The process according to claim 14, wherein the acid is selected from the group consisting of HCl, HBr, HI and an organic acid.

62. (Previously presented) The process according to claim 27, wherein the acid is selected from the group consisting of HCl, HBr, HI and an organic acid.

63. (Previously presented) The process according to claim 40, wherein the acid is selected from the group consisting of HCl, HBr, HI and an organic acid.

64. (Previously presented) The process according to claim 53, wherein the acid is selected from the group consisting of HCl, HBr, HI and an organic acid.